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(54) Title: RECEPTOR DEORPHANIZATION USING TAGGED MOLECULAR LIBRARIES

(57) Abstract: The present invention provides a method of screening test compounds and test conditions for the ability to modulate (activate or inhibit, enhance or depress) a GPCR pathway, and provides methods of assessing GPCR pathway function, such as the function of an orphan GPCR, in a cell in general. In another aspect of the present method, lipophilic photosensitizers are attached to the cellular membranes. A candidate ligand or a library of candidate ligands can be attached to a molecular, after which the ligand is allowed to bind to the receptor. After excitation of the photosensitizer with a light source, the cleavable linker is cleaved releasing the molecular tag. The released molecular tag can be detected in the extracellular fluid, as detailed above, which provides information on the structure of the ligand for the GPCR.